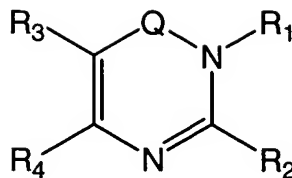


**What is claimed is:**

1. A compound comprising Formula XIX:



**XIX**

wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

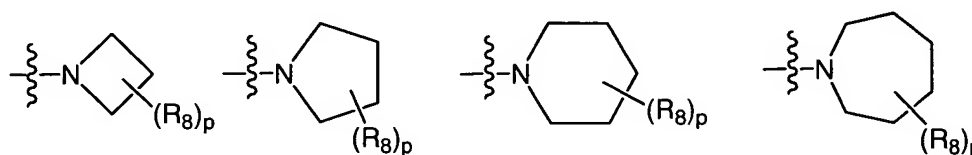
R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R<sub>3</sub> and R<sub>4</sub> are taken together to form a substituted or unsubstituted 5 or 6 membered ring; and

R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

2. A compound according to claim 1, wherein V is selected from the group consisting of a primary, secondary or tertiary amine, a heterocycloalkyl comprising a nitrogen ring atom, and a heteroaryl comprising a nitrogen ring atom
3. A compound according to claim 1, wherein R<sub>2</sub> is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring wherein at least one substituent is selected from the group consisting of a primary, secondary or tertiary amine, a heterocycloalkyl comprising a nitrogen ring atom, and a heteroaryl comprising a nitrogen ring atom.

4. A compound according to claim 1, wherein the basic nitrogen of V is separated from the ring atom to which  $R_2$  is attached by between 1-5 atoms.
5. A compound according to claim 1, wherein the basic nitrogen of V forms part of a primary, secondary or tertiary amine.
6. A compound according to claim 1, wherein the basic nitrogen of V is a nitrogen ring atom of a heterocycloalkyl comprising a nitrogen ring atom or a heteroaryl comprising a nitrogen ring atom.
7. A compound according to claim 1, wherein -UV is selected from the group consisting of

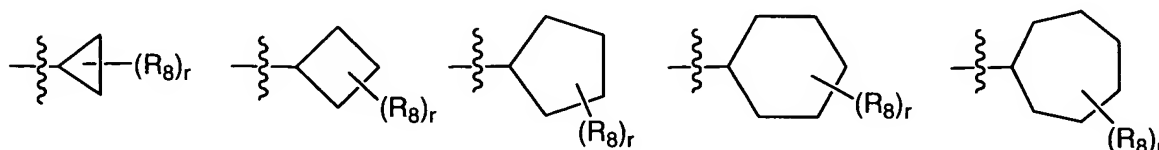


wherein p is 0-12 and each  $R_8$  is independently selected from the group consisting of halo, perhalo( $C_{1-10}$ )alkyl,  $CF_3$ , cyano, nitro, hydroxy, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imino group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that at least one  $R_8$  serves as V.

8. A compound according to claim 7, wherein at least one  $R_8$  is a primary, secondary or tertiary amine.
9. A compound according to claim 7, wherein at least one  $R_8$  is a substituted or unsubstituted heterocycloalkyl comprising a nitrogen ring atom or a substituted or unsubstituted heteroaryl comprising a nitrogen ring atom.

10. A compound according to claim 7, wherein at least one  $R_8$  is selected from the group consisting of  $-NH_2$ ,  $-NH(C_{1-5} \text{ alkyl})$ ,  $-N(C_{1-5} \text{ alkyl})_2$ , piperazine, imidazole, and pyridine.

11. A compound according to claim 1, wherein  $-UV$  is selected from the group consisting of



wherein  $r$  is 0-13 and each  $R_8$  is independently selected from the group consisting of halo, perhalo( $C_{1-10}$ )alkyl,  $CF_3$ , cyano, nitro, hydroxy, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imino group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that at least one  $R_8$  serves as  $V$ .

12. A compound according to claim 11, wherein at least one  $R_8$  is a primary, secondary or tertiary amine.

13. A compound according to claim 11, wherein at least one  $R_8$  is a substituted or unsubstituted heterocycloalkyl comprising a nitrogen ring atom or a substituted or unsubstituted heteroaryl comprising a nitrogen ring atom.

14. A compound according to claim 11, wherein at least one  $R_8$  is selected from the group consisting of  $-NH_2$ ,  $-NH(C_{1-5} \text{ alkyl})$ ,  $-N(C_{1-5} \text{ alkyl})_2$ , piperazine, imidazole, and pyridine.

15. A compound according to claim 1, wherein  $R_2$  is selected from the group consisting of 3-amino-piperidin-1-yl, 3-aminomethyl-pyrrolidin-1-yl, azetidin-1-yl, 3-aminoazetidin-1-yl, pyrrolidin-1-yl, 3-aminocyclopent-1-yl, 3-aminomethylcyclopent-1-yl, 3-aminomethylcyclohex-1-yl, hexahydroazepin-1-yl, 3-amino-hexahydroazepin-1-yl, 3-amino-cyclohex-1-yl, piperazin-1-

yl, homopiperazin-1-yl, 3-amino-pyrrolidin-1-yl, and R-3-aminopiperidin-1-yl, each substituted or unsubstituted.

16. A compound according to claim 1, wherein Z provides 1-3 atom separation between R<sub>m</sub> and the ring.

17. A compound according to claim 1, wherein Z provides 1 atom separation between R<sub>m</sub> and the ring.

18. A compound according to claim 17, wherein the 1 atom separation is provided by an atom selected from the group consisting of C, N, O, and S.

19. A compound according to claim 17, wherein the 1 atom separation is provided by a carbon atom.

20. A compound according to claim 17, wherein the 1 atom separation is provided by an oxygen atom.

21. A compound according to claim 17, wherein the 1 atom separation is provided by a nitrogen atom.

22. A compound according to claim 1, wherein Z is selected from the group consisting of -CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>-, -C(O)-, -CH<sub>2</sub>C(O)-, -C(O)CH<sub>2</sub>-, -CH<sub>2</sub>-C(O)CH<sub>2</sub>-, -C(O)CH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>C(O)-, -O-, -OCH<sub>2</sub>-, -CH<sub>2</sub>O-, -CH<sub>2</sub>OCH<sub>2</sub>-, -OCH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>O-, -N(CH<sub>3</sub>)-, -NHCH<sub>2</sub>-, -CH<sub>2</sub>NH-, -CH<sub>2</sub>NHCH<sub>2</sub>-, -NHCH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>NH-, -NH-C(O)-, -NCH<sub>3</sub>-C(O)-, -C(O)NH-, -C(O)NCH<sub>3</sub>-, -NHC(O)CH<sub>2</sub>-, -C(O)NHCH<sub>2</sub>-, -C(O)CH<sub>2</sub>NH-, -CH<sub>2</sub>NHC(O)-, -CH<sub>2</sub>C(O)NH-, -NHCH<sub>2</sub>C(O)-, -S-, -SCH<sub>2</sub>-, -CH<sub>2</sub>S-, -SCH<sub>2</sub>CH<sub>2</sub>-, -CH<sub>2</sub>SCH<sub>2</sub>-, -CH<sub>2</sub>CH<sub>2</sub>S-, -C(O)S-, -C(O)SCH<sub>2</sub>-, -CH<sub>2</sub>C(O)S-, -C(O)CH<sub>2</sub>S-, and -CH<sub>2</sub>SC(O)-, each substituted or unsubstituted.

23. A compound according to claim 1, wherein Z is selected from the group consisting of -CH<sub>2</sub>-, -C(O)-, -C(S)-, -C(NH)-, -C(NR<sub>9</sub>)-, -O-, -N(H)-, -N(R<sub>9</sub>)-, and -S-.
24. A compound according to claim 1, wherein R<sub>m</sub> is a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl.
25. A compound according to claim 1, wherein R<sub>m</sub> is a substituted or unsubstituted aryl.
26. A compound according to claim 1, wherein R<sub>m</sub> is a substituted or unsubstituted phenyl.
27. A compound according to claim 1, wherein R<sub>m</sub> is selected from the group consisting of (2-cyano)phenyl, (3-cyano)phenyl, (2-hydroxy)phenyl, (3-hydroxy)phenyl, (2-alkenyl)phenyl, (3-alkenyl)phenyl, (2-alkynyl)phenyl, (3-alkynyl)phenyl, (2-nitro)phenyl, (3-nitro)phenyl, (2-carboxy)phenyl, (3-carboxy)phenyl, (2-carboxamido)phenyl, (3-carboxamido)phenyl, (2-sulfonamido)phenyl, (3-sulfonamido)phenyl, (2-tetrazolyl)phenyl, (3-tetrazolyl)phenyl, (2-aminomethyl)phenyl, (3-aminomethyl)phenyl, (2-amino)phenyl, (3-amino)phenyl, (2-hydroxymethyl)phenyl, (3-hydroxymethyl)phenyl, (2-phenyl)phenyl, (3-phenyl)phenyl, (2-CONH<sub>2</sub>)phenyl, (3-CONH<sub>2</sub>)phenyl, (2-CONH(C<sub>1-7</sub>)alkyl)phenyl, (3-CONH(C<sub>1-7</sub>)alkyl)phenyl, (2-CO<sub>2</sub>(C<sub>1-7</sub>)alkyl)phenyl, (3-CO<sub>2</sub>(C<sub>1-7</sub>)alkyl)phenyl, -NH<sub>2</sub>, -OH, -(C<sub>3-7</sub>)alkyl, -alkene, -alkyne, -CCH, -(C<sub>3-7</sub>)cycloalkyl, and -aryl, each substituted or unsubstituted.
28. A compound according to claim 1, wherein R<sub>1</sub> is -OR<sub>11</sub>, where R<sub>11</sub> is selected from the group consisting of substituted or unsubstituted alkyl, cycloalkyl, aryl, heteroaryl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl.
29. A compound according to claim 1, wherein Z is a carbonyl.
30. A compound according to claim 1, wherein R<sub>1</sub> is selected from the group consisting of -(CH<sub>2</sub>)-(2-cyano)phenyl, -(CH<sub>2</sub>)-(3-cyano)phenyl, -(CH<sub>2</sub>)-(2-hydroxy)phenyl, -(CH<sub>2</sub>)-(3-

hydroxy)phenyl,  $-(CH_2)-(2\text{-alkenyl})phenyl$ ,  $-(CH_2)-(3\text{-alkenyl})phenyl$ ,  $-(CH_2)-(2\text{-alkynyl})phenyl$ ,  $-(CH_2)-(3\text{-alkynyl})phenyl$ ,  $-(CH_2)-(2\text{-nitro})phenyl$ ,  $-(CH_2)-(3\text{-nitro})phenyl$ ,  $-(CH_2)-(2\text{-carboxy})phenyl$ ,  $-(CH_2)-(3\text{-carboxy})phenyl$ ,  $-(CH_2)-(2\text{-carboxamido})phenyl$ ,  $-(CH_2)-(3\text{-carboxamido})phenyl$ ,  $-(CH_2)-(2\text{-sulfonamido})phenyl$ ,  $-(CH_2)-(3\text{-sulfonamido})phenyl$ ,  $-(CH_2)-(2\text{-tetrazolyl})phenyl$ ,  $-(CH_2)-(3\text{-tetrazolyl})phenyl$ ,  $-(CH_2)-(2\text{-aminomethyl})phenyl$ ,  $-(CH_2)-(3\text{-aminomethyl})phenyl$ ,  $-(CH_2)-(2\text{-amino})phenyl$ ,  $-(CH_2)-(3\text{-amino})phenyl$ ,  $-(CH_2)-(2\text{-hydroxymethyl})phenyl$ ,  $-(CH_2)-(3\text{-hydroxymethyl})phenyl$ ,  $-(CH_2)-(2\text{-phenyl})phenyl$ ,  $-(CH_2)-(3\text{-phenyl})phenyl$ ,  $-(CH_2)-(2\text{-CONH}_2)phenyl$ ,  $-(CH_2)-(3\text{-CONH}_2)phenyl$ ,  $-(CH_2)-(2\text{-CONH}(C_{1-7})alkyl)phenyl$ ,  $-(CH_2)-(3\text{-CONH}(C_{1-7})alkyl)phenyl$ ,  $-(CH_2)-(2\text{-CO}_2(C_{1-7})alkyl)phenyl$ ,  $-(CH_2)-(3\text{-CO}_2(C_{1-7})alkyl)phenyl$ ,  $-CH_2-NH_2$ ,  $-CH_2-OH$ ,  $-CH_2-(C_{3-7})alkyl$ ,  $-CH_2\text{-alkene}$ ,  $-CH_2\text{-alkyne}$ ,  $-CH_2\text{-CCH}$ ,  $-CH_2-(C_{3-7})cycloalkyl$ , and  $-CH_2\text{-aryl}$ , each substituted or unsubstituted.

31. A compound according to claim 1, wherein  $R_1$  is selected from the group consisting of  $-(C_1)alkyl\text{-aryl}$ ,  $-(C_1)alkyl\text{-bicycloaryl}$ ,  $\text{-aminoaryl}$ ,  $\text{-aminoheteroaryl}$ ,  $\text{-aminobicycloaryl}$ ,  $\text{-aminoheterobicycloaryl}$ ,  $\text{-O-aryl}$ ,  $\text{-O-heteroaryl}$ ,  $\text{-O-bicycloaryl}$ ,  $\text{-O-heterobicycloaryl}$ ,  $-(S)\text{-aryl}$ ,  $-(S)\text{-heteroaryl}$ ,  $-(S)\text{-bicycloaryl}$ ,  $-(S)\text{-heterobicycloaryl}$ ,  $\text{-C(O)-aryl}$ ,  $\text{-C(O)-heteroaryl}$ ,  $\text{-C(O)-bicycloaryl}$ ,  $\text{-C(O)-heterobicycloaryl}$ ,  $\text{-C(S)-aryl}$ ,  $\text{-C(S)-heteroaryl}$ ,  $\text{-C(S)-bicycloaryl}$ ,  $\text{-C(S)-heterobicycloaryl}$ ,  $\text{-S(O)-aryl}$ ,  $\text{-S(O)-heteroaryl}$ ,  $\text{-S(O)-bicycloaryl}$ ,  $\text{-SO}_2\text{-heterobicycloaryl}$ ,  $\text{-SO}_2\text{-aryl}$ ,  $\text{-SO}_2\text{-heteroaryl}$ ,  $\text{-SO}_2\text{-bicycloaryl}$ ,  $\text{-SO}_2\text{-heterobicycloaryl}$ ,  $\text{-C(NR}_9\text{)-aryl}$ ,  $\text{-C(NR}_9\text{)-heteroaryl}$ ,  $\text{-C(NR}_9\text{)-bicycloaryl}$ ,  $\text{-C(NR}_9\text{)-heterobicycloaryl}$ , each substituted or unsubstituted.

32. A compound according to claim 1, where  $R_3$  and  $R_4$  are taken together to form an unsubstituted or substituted 5 or 6 membered cycloalkyl or heterocycloalkyl ring.

33. A compound according to claim 1, where  $R_3$  and  $R_4$  are taken together to form a substituted or unsubstituted phenyl ring.

34. A compound according to claim 1, where  $R_3$  and  $R_4$  are taken together to form a substituted or unsubstituted heteroaryl ring.

35. A compound according to claim 1, where R<sub>3</sub> and R<sub>4</sub> are taken together to form a substituted or unsubstituted heteroaryl selected from the group consisting of substituted or unsubstituted furan, thiophene, pyrrole, pyrazole, triazole, isoxazole, oxazole, thiazole, isothiazole, oxadiazole, pyridine, pyridazine, pyrimidine, pyrazine, triazine, benzofuran, isobenzofuran, benzothiophene, isobenzothiophene, imidazole, benzimidazole, indole, isoindole, quinoline, isoquinoline, cinnoline, quinazoline, naphthyridine, pyridopyridine, quinoxaline, phthalazine, and benzothiazole.

36. A compound according to claim 1, where R<sub>3</sub> and R<sub>4</sub> are taken together to form a 5 or 6-membered ring where the ring comprises at least one CO group.

37. A compound according to claim 1, where R<sub>3</sub> and R<sub>4</sub> are taken together to form a 5 or 6-membered ring comprising of 1-3 nitrogen ring atoms.

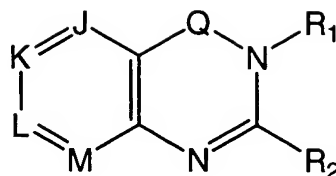
38. A compound according to claim 1, where R<sub>3</sub> and R<sub>4</sub> are taken together to form a 5 or 6-membered ring where the ring comprises a sulfur atom.

39. A compound according to claim 38, wherein the ring sulfur atom is in an oxidized form as SO or SO<sub>2</sub>.

40. A compound according to claim 1, wherein the ring formed by R<sub>3</sub> and R<sub>4</sub> comprises substituents that form a ring fused to the ring formed by R<sub>3</sub> and R<sub>4</sub>.

41. A compound according to claim 1, wherein R<sub>3</sub> and R<sub>4</sub> are taken together to form a ring system such that the compound of Formula XIX formed is selected from the group consisting of substituted or unsubstituted 4-oxo-4H-quinazoline, 3H-pyrido[2,3-d]pyrimidin-4-one, 3H-pyrido[3,2-d]pyrimidin-4-one, 3H-pyrido[3,4-d]pyrimidin-4-one and 3H-pyrido[4,3-d]pyrimidin-4-one.

42. A compound comprising Formula XX:



XX

wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

J, K, L, and M are each independently selected from the group of CR<sub>12</sub> and N;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R<sub>12</sub> is hydrogen or is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

43. A compound according to claim 42, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

44. A compound according to claim 42, wherein the compound is a compound where J comprises a nitrogen ring atom.



45. A compound according to claim 42, wherein the compound is a compound where K comprises a nitrogen ring atom.
46. A compound according to claim 42, wherein the compound is a compound where L comprises a nitrogen ring atom.
47. A compound according to claim 42, wherein the compound is a compound where M comprises a nitrogen ring atom.
48. A compound according to claim 42, wherein the compound is a compound where J and L each comprise a nitrogen ring atom or J and K each comprise a nitrogen ring atom.
49. A compound according to claim 42, wherein the compound is a compound where K and L each comprise a nitrogen ring atom.
50. A compound according to claim 42, wherein the compound is a compound where K and M each comprise a nitrogen ring atom.
51. A compound according to claim 42, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
52. A compound according to claim 42, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
53. A compound according to claim 42, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
54. A compound according to claim 42, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to or bridged to the ring formed by J, K, L, and M.

55. A compound according to claim 42, wherein K is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

56. A compound according to claim 42, wherein K is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, and alkoxy, each substituted or unsubstituted.

57. A compound according to claim 42, wherein K is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryl, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, thio, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

58. A compound according to claim 42, wherein K is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of chloro, bromo, fluoro, iodo, methoxy, morpholin-4-yl, and pyrrolidin-1-yl, each substituted or unsubstituted.

59. A compound according to claim 42, wherein L is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

60. A compound according to claim 42, wherein L is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, morpholin-4-yl, and pyrrolidin-1-yl, and alkoxy, each substituted or unsubstituted.

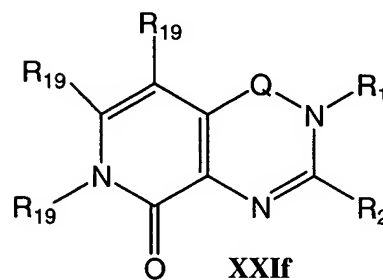
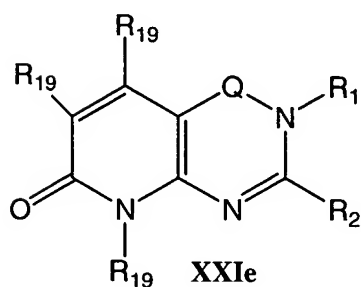
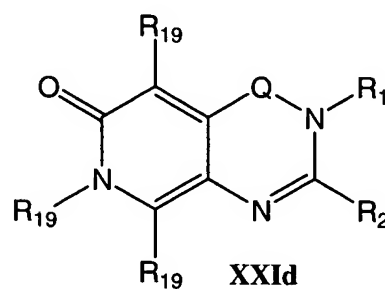
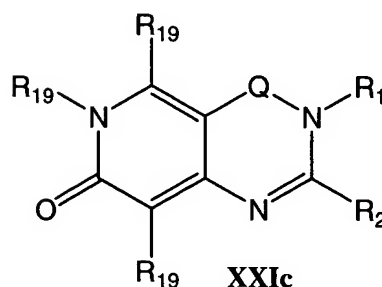
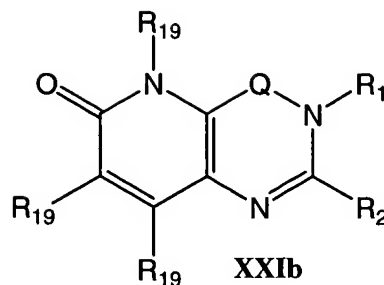
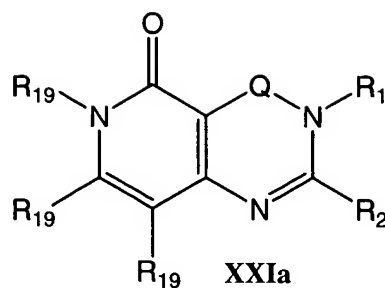
61. A compound according to claim 42, wherein K and L are independently CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

62. A compound according to claim 42, wherein:

K is CR<sub>12</sub>, where R<sub>12</sub> is independently selected from the group consisting of halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted; and

L is nitrogen.

63. A compound comprising a member selected from the group consisting of Formulae XXIa, XXIb, XXIc, XXId, XXIf and XXIf:



wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

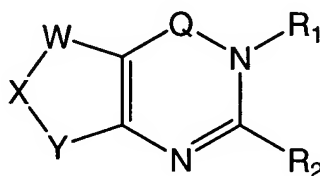
R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R<sub>19</sub> is independently selected from the group consisting of hydrogen, halo,

perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R<sub>19</sub> is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R<sub>19</sub> is bound is nitrogen.

64. A compound according to claim 63, wherein two R<sub>19</sub> are taken together to form a substituted or unsubstituted fused or bridged ring.

65. A compound comprising Formula XXII:



XXII

wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

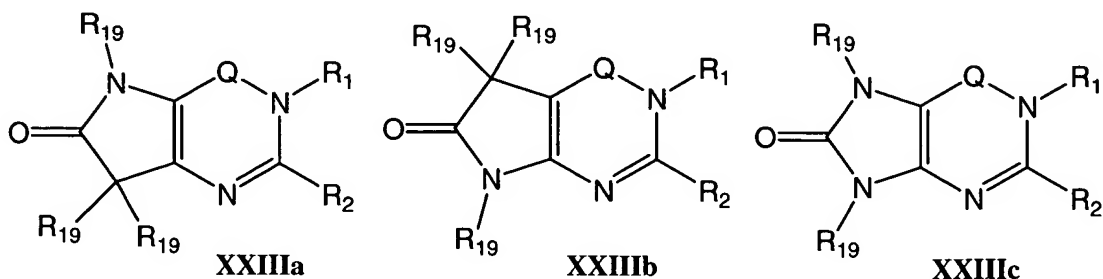
W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

66. A compound according to claim 65, wherein at least one of W, X, and Y is CO.
67. A compound according to claim 65, wherein at least one of W, X, and Y is SO.
68. A compound according to claim 65, wherein at least one of W, X, and Y is SO<sub>2</sub>.
69. A compound according to claim 65, wherein at least one of W, X, and Y comprises a ring nitrogen atom.
70. A compound according to claim 65, wherein at least two of W, X, and Y comprises a ring nitrogen atom.
71. A compound according to claim 65, wherein W and Y are taken together to form a substituted or unsubstituted bridged ring relative to the ring formed by W, X and Y.
72. A compound according to claim 65, wherein two of W, X, and Y are taken together to form a substituted or unsubstituted ring fused to the ring formed by W, X and Y.
73. A compound comprising a member selected from the group consisting of Formulae XXIIIa, XXIIIb or XXIIIc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

$R_1$  is  $-ZR_m$ , where Z is a moiety providing 1-6 atom separation between  $R_m$  and the ring to which  $R_1$  is attached, and  $-R_m$  is selected from the group consisting of a substituted or unsubstituted  $(C_{3-7})$ cycloalkyl and aryl;

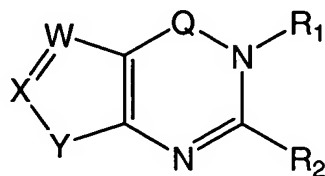
$R_2$  is  $-UV$ , where U is a moiety providing 1-6 atom separation between V and the ring to which  $R_2$  is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

$R_9$  is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

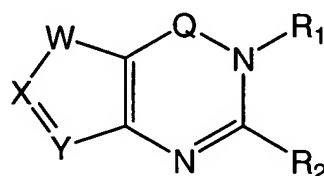
each  $R_{19}$  is independently selected from the group consisting of hydrogen, halo, perhalo $(C_{1-10})$ alkyl,  $CF_3$ , cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that  $R_{19}$  is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which  $R_{19}$  is bound is nitrogen.

74. A compound according to claim 73, wherein two  $R_{19}$  are taken together to form a substituted or unsubstituted bridged or spiro ring.

75. A compound comprising Formula XXIVa or Formula XXIVb:



XXIVa



XXIVb

wherein

Q is selected from the group consisting of CO, CS, SO,  $SO_2$ , or  $C=NR_9$ ;

W, X, and Y are each independently selected from the group of moieties where

the ring atom is either C, N, O or S;

$R_1$  is  $-ZR_m$ , where Z is a moiety providing 1-6 atom separation between  $R_m$  and the ring to which  $R_1$  is attached, and  $-R_m$  is selected from the group consisting of a substituted or unsubstituted ( $C_{3-7}$ )cycloalkyl and aryl;

$R_2$  is  $-UV$ , where U is a moiety providing 1-6 atom separation between V and the ring to which  $R_2$  is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

$R_9$  is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

76. A compound according to claim 75, wherein the compound is a compound of Formula XXIVa wherein Y is selected from the group consisting of CO, SO or  $SO_2$ .

77. A compound according to claim 75, wherein the compound is a compound of Formula XXIVb wherein W is selected from the group consisting of CO, SO or  $SO_2$ .

78. A compound according to claim 75, wherein W comprise a ring nitrogen atom.

79. A compound according to claim 75, wherein X comprise a ring nitrogen atom.

80. A compound according to claim 75, wherein Y comprise a ring nitrogen atom.

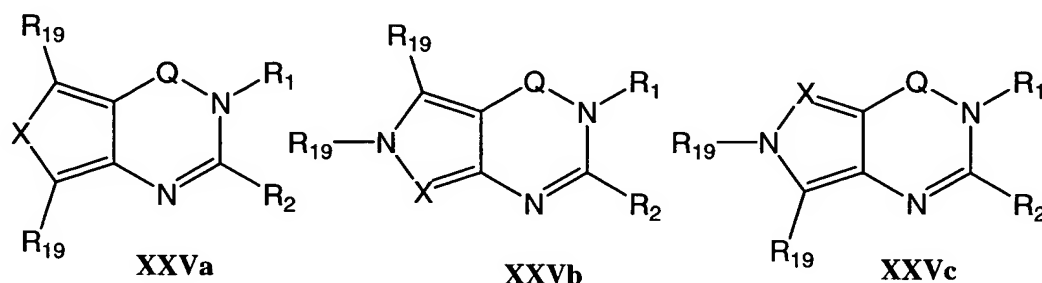
81. A compound according to claim 75, wherein at least two of W, X, and Y comprises a ring nitrogen atom.

82. A compound according to claim 75, wherein two of W, X, and Y are taken together and substituted through available valencies to form a substituted or unsubstituted ring fused or bridged to the ring formed by W, X and Y.



83. A compound according to claim 75, wherein W, X, and Y are selected such that the compound comprises a ring system selected from the group consisting of 4-oxo-4H-thieno[3,2-d]pyrimidine, 7-oxo-1,2,3,7-tetrahydro-8-thia-4,6-diaza-cyclopenta[a]indene, 7-methyl-6-oxo-6,7-dihydro-purine, and 6-oxo-6,9-dihydro-purine, each substituted or unsubstituted.

84. A compound comprising Formulae XXVa, XXVb, or XXVc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

X is selected from the group of moieties where the ring atom is either C, N, O or S in Formula XXVa, or X is selected from the group of moieties where the ring atom is either C or N in Formula XXVb or Formula XXVc;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

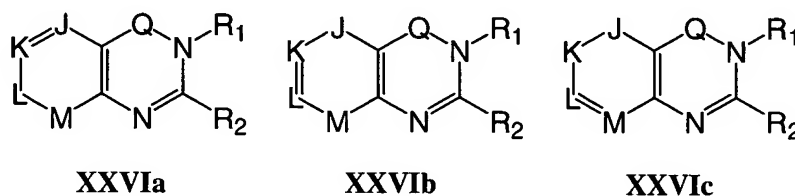
each R<sub>19</sub> is independently selected from the group consisting of hydrogen, halo, perhalo(C<sub>1-10</sub>)alkyl, CF<sub>3</sub>, cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy,

arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R<sub>19</sub> is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R<sub>19</sub> is bound is nitrogen.

85. A compound according to claim 84, wherein two R<sub>19</sub> are taken together to form a substituted or unsubstituted ring.

86. A compound according to claim 84, wherein the compound comprises Formula XXVa and the two R<sub>19</sub> are taken together to form a substituted or unsubstituted fused or bridged ring.

87. A compound comprising a member selected from the group of Formulae XXVIa, XXVIb and XXVIc:



wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl; and

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein.

88. A compound according to claim 87, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

89. A compound according to claim 87, wherein at least one of J, K, L and M comprise a nitrogen ring atom.

90. A compound according to claim 87, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.

91. A compound according to claim 87, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.

92. A compound according to claim 87, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.

93. A compound according to claim 87, wherein at least two of J, K, L and M comprise a nitrogen ring atom.

94. A compound according to claim 87, wherein at least three of J, K, L and M comprise a nitrogen ring atom.

95. A compound according to claim 87, wherein at least one of J, K, L and M is CO.

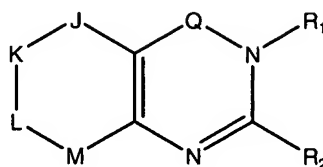
96. A compound according to claim 87, wherein at least one of J, K, L and M is SO.

97. A compound according to claim 87, wherein at least one of J, K, L and M is SO<sub>2</sub>.

98. A compound according to claim 87, wherein the ring formed by J, K, L, and M comprises substituents, through available valencies, that form a ring fused to the ring formed by J, K, L, and

M or, in the case of Formula XXVIb, J and M form a bridged ring relative to the ring formed by J, K, L, and M.

99. A compound comprising Formula XXVII:



XXVII

wherein

Q is selected from the group consisting of CO, CS, SO, SO<sub>2</sub>, or C=NR<sub>9</sub>;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R<sub>1</sub> is -ZR<sub>m</sub>, where Z is a moiety providing 1-6 atom separation between R<sub>m</sub> and the ring to which R<sub>1</sub> is attached, and -R<sub>m</sub> is selected from the group consisting of a substituted or unsubstituted (C<sub>3-7</sub>)cycloalkyl and aryl;

R<sub>2</sub> is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R<sub>2</sub> is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R<sub>9</sub> is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

100. A compound according to claim 99, wherein at least one of J, K, L and M is CO.

101. A compound according to claim 99, wherein at least one of J, K, L and M is SO.

102. A compound according to claim 99, wherein at least one of J, K, L and M is SO<sub>2</sub>.

103. A compound according to claim 99, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.
104. A compound according to claim 99, wherein the compound is a compound where J comprises a nitrogen ring atom.
105. A compound according to claim 99, wherein the compound is a compound where K comprises a nitrogen ring atom.
106. A compound according to claim 99, wherein the compound is a compound where L comprises a nitrogen ring atom.
107. A compound according to claim 99, wherein the compound is a compound where M comprises a nitrogen ring atom.
108. A compound according to claim 99, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.
109. A compound according to claim 99, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.
110. A compound according to claim 99, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
111. A compound according to claim 99, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
112. A compound according to claim 99, wherein at least three of J, K, L and M comprise a nitrogen ring atom.

113. A compound according to claim 99, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to the ring formed by J, K, L, and M.

114. A compound according to claim 99, wherein the ring formed by J, K, L, and M comprises substituents that form a bridged ring relative to the ring formed by J, K, L, and M.